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 — Anayal

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FULL ESTIMATED COST		0.21	0.21

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STRUCTURE FILE UPDATES: 14 JAN 2004 HIGHEST RN 637725-36-1
 DICTIONARY FILE UPDATES: 14 JAN 2004 HIGHEST RN 637725-36-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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 to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> s {ra]r[ia]rpk[la]/sqep

GAPS, WILDCARDS, AND BRACKETS ARE INVALID FOR "EXACT" SEQUENCE FIELD CODES.

=> s [ra]r[ia]rpk[la]/sqsp and sql=7

42 [RA]R[IA]RPK[LA]/SQSP
 44750 SQL=7

L1 42 [RA]R[IA]RPK[LA]/SQSP AND SQL=7

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY	SESSION
	32.19	32.40

FILE 'CAPLUS' ENTERED AT 11:48:08 ON 16 JAN 2004
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FILE COVERS 1907 - 16 Jan 2004 VOL 140 ISS 4
 FILE LAST UPDATED: 15 Jan 2004 (20040115/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

=> **s 11**

L2 10 L1

=> **d bib,kwic 1-10**

L2 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

AN 2000:288742 CAPLUS
 DN 133:53837
 TI Analogs of dynorphin A (6-12) with N-methyl amino acids: biological activity and structure of side-products
 AU Burov, Sergey; Vlasov, Guennadii; Dorosh, Marina; Schkarubsaya, Soya; Schkurov, Valery; Muradymov, Marat; Wei, Edward T.
 CS Institute of Macromolecular Compounds, Academy of Sciences, St.-Petersburg, 199004, Russia
 SO Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 810-811. Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Publisher: Akademiai Kiado, Budapest, Hung.
 CODEN: 68WKAY
 DT Conference
 LA English
 RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT **83690-60-2D**, Dynorphin A 6-12, analogs **209521-51-7**

277751-04-9 277751-05-0 277751-06-1

277751-07-2 277751-08-3 277751-09-4

277751-10-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (anti-inflammatory action of dynorphin A (6-12) analogs with N-Me amino acids)

L2 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

AN 2000:288726 CAPLUS
 DN 133:145029
 TI Design of optimal analogs of 6-12 fragment of dynorphin A with high anti-inflammatory activity using D, L - peptide library approach
 AU Vlasov, Guennady P.; Wei, Edward T.; Burov, Sergey V.; Korol'kov, Valeriy I.
 CS Institute of Macromolecular Compounds, Russian Academy of Sciences, Petersburg, Russia
 SO Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 778-779. Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Publisher: Akademiai Kiado, Budapest, Hung.
 CODEN: 68WKAY
 DT Conference
 LA English

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

IT **83690-60-2D**, Dynorphin A 6-12, analogs **286965-41-1**

286965-42-2 286965-43-3 286965-44-4

286965-45-5 286965-46-6 286965-47-7

287121-42-0 287121-43-1 287121-44-2

287121-45-3 287182-47-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (design of optimal analogs of the 6-12 fragment of dynorphin A with high anti-inflammatory activity using a D,L-peptide library approach)

L2 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text

AN 1999:566078 CAPLUS
 DN 131:194806
 TI Melanocortin receptor antagonists and modulations of melanocortin receptor activity
 IN Wei, Edward T.; Quillan, J. Mark; Sadee, Wolfgang; Vlasov, Guennady P.; Chang, J. K.
 PA The Regents of the University of California, USA
 SO PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943709	A2	19990902	WO 1999-US4111	19990225
	WO 9943709	A3	20000113		
	W: AU, CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	US 6228840	B1	20010508	US 1998-31902	19980227
	AU 9933111	A1	19990915	AU 1999-33111	19990225
	US 2002004485	A1	20020110	US 2001-849592	20010504
	US 1998-31902	A	19980227		
WO 1999-US4111	W	19990225			
OS	MARPAT 131:194806				
IT	79515-34-7 84211-35-8, Dynorphin A(2-13)			200959-47-3	
	209521-51-7 209521-64-2 215527-99-4				
	215528-00-0 215528-01-1 215528-02-2 215528-03-3				
	215528-04-4 240810-91-7 240810-92-8				
	240810-93-9 240810-94-0 240810-95-1				
	240810-96-2 240810-97-3 240810-98-4 240810-99-5 240811-00-1				
	240811-01-2 240811-02-3 240811-03-4 240811-04-5 240811-05-6				
	240811-06-7 240811-07-8				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (melanocortin receptor antagonists and modulations of melanocortin receptor activity in relation to melanoma treatment)				

L2 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text

AN 1998:597967 CAPLUS
 DN 129:339948
 TI Modified dynorphin A (6-12) analogs that suppress thermal edema
 AU Vlasov, Guennady P.; Burov, Sergey V.; Korolkov, Vladimir; Glynskaya, Olga V.; Thomas, Holly A.; Wei, Edward
 CS State Institute of Highly Pure Biopreparations, St. Petersburg, 197110, Russia
 SO Peptides 1996, Proceedings of the European Peptide Symposium, 24th, Edinburgh, Sept. 8-13, 1996 (1998), Meeting Date 1996, 877-878. Editor(s): Ramage, Robert; Epton, Roger. Publisher: Mayflower Scientific, Kingswinford, UK.

CODEN: 66RCA5

DT Conference

LA English

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMATIT 83608-80-4, Dynorphin A(2-17) **83690-60-2D**, Dynorphin A 6-12,
analogs 161875-00-9 163132-93-2 209521-51-7
209521-52-8 209521-53-9 209521-64-2
215527-99-4 215528-00-0 215528-01-1 215528-02-2
215528-03-3 215528-04-4RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(modified dynorphin A (6-12) analogs that suppress thermal edema)

L2 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full 
Text 

AN 1998:350384 CAPLUS

DN 129:90564

TI Dynorphin A(6-12) analogs suppress thermal edema

AU Wei, Edward T.; Thomas, Holly A.; Gjerde, Eli-Anne; Reed, Rolf K.; Burov, Sergey V.; Korolkov, Valerij I.; Glynskaya, Oxana V.; Dorosh, Marina Y.; Vlasov, Guennady P.

CS School of Public Health, University of California, Berkeley, CA, 94720, USA

SO Peptides (New York) (1998), 19(4), 767-775

CODEN: PPTDD5; ISSN: 0196-9781

PB Elsevier Science Inc.

DT Journal

LA English

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMATIT 83608-80-4, Dynorphin A(2-17) 88161-22-2D, Dynorphin A, analogs
161875-00-9, N-Acetyl-[D-Leu12]-dynorphin A(6-12)-NH2
163132-93-2, N-Acetyl-dynorphin A(6-12)-NH2 **209521-51-7**
209521-52-8 209521-53-9 209521-54-0
209521-55-1 209521-56-2 209521-57-3
209521-58-4 209521-59-5 209521-60-8 209521-61-9
209521-62-0 209521-63-1 209521-64-2RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(dynorphin A(6-12) analogs suppress thermal edema)

L2 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full 
Text 

AN 1995:746010 CAPLUS

DN 123:133370

TI Metabolism of dynorphin A 1-13 in human blood and plasma

AU Mueller, Stefan; Hochhaus, Guenther

CS Dep. Pharm., Univ. Florida, Gainesville, FL, 32610, USA

SO Pharmaceutical Research (1995), 12(8), 1165-70

CODEN: PHREEB; ISSN: 0724-8741

PB Plenum

DT Journal

LA English

IT 79985-35-6, Dynorphin A 1-12 79994-24-4, Dynorphin A 1-10
83690-60-2, Dynorphin A 6-12 84211-35-8, Dynorphin A 2-13
89202-80-2, Dynorphin A 3-13 116920-16-2, Dynorphin A 3-8 145143-20-0,
Dynorphin A 2-8 153538-61-5, Dynorphin A2-12 153538-64-8, Dynorphin A
2-10 153538-77-3, Dynorphin A 3-12 163132-92-1, Dynorphin A 4-12
166984-16-3, Dynorphin A 4-8 166984-17-4, Dynorphin A 5-12

166984-18-5, Dynorphin A 7-12

RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(dynorphin A 1-13 metab. in human blood and plasma)

L2 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text

AN 1995:541838 CAPLUS

DN 122:282447

TI Potent inhibition of thermal edema in rat by des-Tyr-dynorphin A

AU Thomas, Holly A.; Wei, Edward T.

CS Sch. Public Health, Univ. California, Berkeley, CA, 94720, USA

SO Peptides (Tarrytown, New York) (1995), 16(3), 547-50

CODEN: PPTDD5; ISSN: 0196-9781

PB Elsevier

DT Journal

LA English

IT 72957-38-1, Dynorphin A(1-13) 83608-80-4, Dynorphin A(2-17)

83690-60-2 87079-95-6, Dynorphin A(6-17) 88161-22-2, Dynorphin

A 89202-80-2, Dynorphin A(3-13) 96249-44-4 150398-27-9, Dynorphin

A(2-14) 153538-61-5 153538-69-3 153538-77-3 **161875-00-9**

163132-90-9 163132-91-0 163132-92-1, 4-12-Dynorphin A (pig)

163132-93-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(dynorphin A and dynorphin A analogs inhibition of thermal edema in relation to structure)

L2 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text

AN 1995:446711 CAPLUS

DN 122:205184

TI Anti-inflammatory composition and method with des-tyr dynorphin and analogues

IN Wei, Edward T.; Thomas, Holly A.

PA Reagents of the University of California, USA

SO PCT Int. Appl., 24 pp

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 9429337 A1 19941222 WO 1994-US6502 19940609

W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5482930 A 19960109 US 1993-74210 19930609

AU 9470583 A1 19950103 AU 1994-70583 19940609

AU 679241 B2 19970626

JP 08511541 T2 19961203 JP 1994-502096 19940609

EP 751954 A1 19970108 EP 1994-919428 19940609

EP 751954 B1 20011205

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE

AT 210149 E 20011215 AT 1994-919428 19940609

PRAI US 1993-74210 A 19930609

WO 1994-US6502 W 19940609

IT 83690-60-2 88161-22-2, Dynorphin A

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(core of anti-inflammatory des-tyr dynorphin)

IT 83608-80-4 161874-98-2 161874-99-3 **161875-00-9**

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(des-tyr dynorphin; anti-inflammatory compn. contg. des-tyr dynorphin
and analogs)

L2 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Structure
 Text References

AN 1986:553511 CAPLUS

DN 105:153511

TI Polymer-supported biopolymer synthesis: 5. Ultra-high load solid (gel)
phase peptide synthesis - the stepwise elaboration of quasi-homogeneous
peptide gel networks?

AU Epton, R.; Marr, G.; McGinn, B. J.; Small, P. W.; Wellings, D. A.;
Williams, A.

CS Sch. Appl. Sci., Wolverhampton Polytech., Wolverhampton, WV1 1LY, UK

SO International Journal of Biological Macromolecules (1985), 7(5), 289-98
CODEN: IJBMDR; ISSN: 0141-8130

DT Journal

LA English

IT **83690-49-7DP**, ester with [(hydroxyphenyl)ethyl]acrylamide
crosslinked polymer 104411-81-6DP, ester with
[(hydroxyphenyl)ethyl]acrylamide crosslinked polymer 104411-82-7DP,
ester with [(hydroxyphenyl)ethyl]acrylamide crosslinked polymer
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and resin cleavage of, by hydrazinolysis)

IT **83690-55-5P** 104411-83-8P 104411-84-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by ultra-high load solid-phase method)

L2 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2004 ACS on STN

Full Structure
 Text References

AN 1982:616701 CAPLUS

DN 97:216701

TI Polymer-supported biopolymer synthesis. 2. Phenolic
poly(acryloylmorpholine)-based preparation of protected arginyl
acylpeptide segments and derived arginyl peptides

AU Buckle, M.; Epton, R.; Marr, G.; Small, P. W.; Hudson, D.

CS Dep. Phys. Sci., Wolverhampton Polytech., Wolverhampton, WV1 1LY, UK

SO International Journal of Biological Macromolecules (1982), 4(5), 275-80
CODEN: IJBMDR; ISSN: 0141-8130

DT Journal

LA English

IT 4530-20-5DP, poly(acryloylmorpholine)-based phenolic resin-bound
83690-45-3P **83690-49-7DP**, poly(acryloylmorpholine)-based phenolic
resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and deprotection of)

IT **83690-56-6P** **83690-57-7P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and hydrolysis of)

IT **83690-45-3DP**, poly(acryloylmorpholine)-based phenolic resin-bound

83690-49-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and resin cleavage of)

IT **81657-13-8P** **83690-55-5P** **83690-59-9P** **83690-61-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

=> **s anisoyl?**

L3 2763 ANISOYL?

=> **s 13 and dynorphin?**

3566 DYNORPHIN?

L4 3 L3 AND DYNORPHIN?

=> **d bib,kwic 1-3**

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

AN 2000:288726 CAPLUS

DN 133:145029

TI Design of optimal analogs of 6-12 fragment of **dynorphin** A with high anti-inflammatory activity using D, L - peptide library approach

AU Vlasov, Guennady P.; Wei, Edward T.; Burov, Sergey V.; Korol'kov, Valeriy I.

CS Institute of Macromolecular Compounds, Russian Academy of Sciences, Petersburg, Russia

SO Peptides 1998, Proceedings of the European Peptide Symposium, 25th, Budapest, Aug. 30-Sept. 4, 1998 (1999), Meeting Date 1998, 778-779.

Editor(s): Bajusz, Sandor; Hudecz, Ferenc. Publisher: Akademiai Kiado, Budapest, Hung.

CODEN: 68WKAY

DT Conference

LA English

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Design of optimal analogs of 6-12 fragment of **dynorphin** A with high anti-inflammatory activity using D, L - peptide library approach

AB Previously the authors found that des-Tyr'-**dynorphin** A, **Dynorphin** (2-17) acts as an agonist to inhibit the acute phase of the inflammatory response. The replacement of Dyn A (2-5) with the **anisoyl** group (Ani), removal of Dyn A (13-17) and changing L-Leu to D-Leu produced the peptide analog of **Dynorphin** A (m-Ani-Arg6-Arg-Ile-Arg-Pro-Lys-D-Leu12-NH2), m-Ani-[D-Leu12] Dyn A (6-12), whose anti-inflammatory activity was equiv. to **dynorphin** A (2-17). Amino acid positions responsible for the anti-inflammatory activity of Dyn A (6-12) were detd. Taking into account that biol. active conformation of a peptide is predetd. by stereochem. of all its amino acid residues, the authors used a combinatorial D,L-peptide chem. approach for the optimal design of **Dynorphin** A (6-12) peptides using the m-Ani-[D-Leu12] Dyn A (6-12)-NH2 as a prototype. Using this approach, the Dyn A (6-12) analog m-**Anisoyl**-D-Arg-L-Arg-L-Ile-D-Arg-L-Pro-D-Lys-D-Leu-NH2 with a high level of anti-inflammatory activity was detected.

ST design **dynorphin** A analog antiinflammatory agent

IT Anti-inflammatory agents

Drug design

(design of optimal analogs of the 6-12 fragment of **dynorphin** A with high anti-inflammatory activity using a D,L-peptide library approach)

IT Structure-activity relationship

(inflammation-inhibiting; design of optimal analogs of the 6-12 fragment of **dynorphin** A with high anti-inflammatory activity using a D,L-peptide library approach)

IT 83690-60-2D, **Dynorphin** A 6-12, analogs 286965-41-1

286965-42-2 286965-43-3 286965-44-4 286965-45-5 286965-46-6

286965-47-7 287121-42-0 287121-43-1 287121-44-2 287121-45-3

287182-47-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(design of optimal analogs of the 6-12 fragment of **dynorphin A** with high anti-inflammatory activity using a D,L-peptide library approach)

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text
 AN 1999:566078 CAPLUS
 DN 131:194806
 TI Melanocortin receptor antagonists and modulations of melanocortin receptor activity
 IN Wei, Edward T.; Quillan, J. Mark; Sadee, Wolfgang; Vlasov, Guennady P.; Chang, J. K.
 PA The Regents of the University of California, USA
 SO PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 9943709</u>	A2	19990902	<u>WO 1999-US4111</u>	19990225
<u>WO 9943709</u>	A3	20000113		
W: AU, CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
<u>US 6228840</u>	B1	20010508	<u>US 1998-31902</u>	19980227
<u>AU 9933111</u>	A1	19990915	<u>AU 1999-33111</u>	19990225
<u>US 2002004485</u>	A1	20020110	<u>US 2001-849592</u>	20010504
<u>PRAI US 1998-31902</u>	A	19980227		
<u>WO 1999-US4111</u>	W	19990225		
OS MARPAT 131:194806				
AB The clin. outcome of disseminated melanoma is grim. Small mol. wt. antagonists (preferably about seven amino acid residues) specific for melanocortin receptor (MCR) on melanoma cells are provided for the therapy of melanoma as well as in other conditions where modulation of MCR is of clin. significance. A particularly preferred antagonist is p-anisoyl-[D-Arg6,9, D-Lys11, D-Leu12] dynorphin A(6-12)-NH₂ , which is an excellent antagonist of the MCR-1 receptor.				
IT 79515-34-7	84211-35-8, Dynorphin A(2-13)	200959-47-3		
209521-51-7	209521-64-2	215527-99-4	215528-00-0	215528-01-1
215528-02-2	215528-03-3	215528-04-4	240810-91-7	240810-92-8
240810-93-9	240810-94-0	240810-95-1	240810-96-2	240810-97-3
240810-98-4	240810-99-5	240811-00-1	240811-01-2	240811-02-3
240811-03-4	240811-04-5	240811-05-6	240811-06-7	240811-07-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
(melanocortin receptor antagonists and modulations of melanocortin receptor activity in relation to melanoma treatment)				

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

Full Text
 AN 1998:350384 CAPLUS
 DN 129:90564
 TI **Dynorphin A(6-12)** analogs suppress thermal edema
 AU Wei, Edward T.; Thomas, Holly A.; Gjerde, Eli-Anne; Reed, Rolf K.; Burov, Sergey V.; Korolkov, Valerij I.; Glynskaya, Oxana V.; Dorosh, Marina Y. ;

CS Vlasov, Guennady P.
 School of Public Health, University of California, Berkeley, CA, 94720,
 USA

SO Peptides (New York) (1998), 19(4), 767-775
 CODEN: PPTDD5; ISSN: 0196-9781

PB Elsevier Science Inc.

DT Journal

LA English

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI **Dynorphin** A(6-12) analogs suppress thermal edema

AB **Dynorphin** A (Dyn A) is a 17-residue opioid peptide derived from prodynorphin precursors found in mammalian tissues. Removal of Tyrl from Dyn A produces a peptide that is more potent than Dyn A in attenuating the acute phase of the inflammatory response, as measured by inhibition of heat-induced edema in the anesthetized rat's paw (exposure to 58° water for 1 min). Dyn A(2-17), however, no longer interacts with opioid receptors. It was postulated that the non-opioid anti-inflammatory actions of Dyn A(2-17) may reside in Dyn A(6-12); i.e., Arg-Arg-Ile-Arg-Pro-Lys-Leu, here we report on the activities of Dyn A(6-12) analogs modified by substitutions on the N terminus, by single N-Me substitution and by single replacement of residues by alanine. The results indicated that the minimal sequence required for an anti-edema ED50 of <1.0 μ mol/kg i.v. was **anisoyl**-Arg6-Arg7-Xaa8-Arg9-Pro10-Xaa11-Xaa12-NH2. A prototype, p-**anisoyl**-[D-Leu12] Dyn A(6-12)-NH2, with an ED50 of 0.20 μ mol/kg i.v. compared to an ED50 of 0.08 μ mol/kg i.v. for Dyn A(2-17), was selected for further tests of biol. activity. This analog, like Dyn A(2-17), lowered blood pressure in anesthetized rats. In a model of neurogenic inflammation, produced by antidromic stimulation of the vagus in the anesthetized rat, p-**anisoyl**-[D-Leu12] Dyn A(6-12)-NH2, 0.23 μ mol/kg i.v., attenuated the negativity of tracheal tissue interstitial pressure, which normally develops after nerve stimulation. Modulation of interstitial pressure may be the mechanistic basis for the anti-edema properties of these Dyn A(6-12) analogs.

ST **dynorphin** A analog antiinflammatory structure activity; thermal edema
dynorphin A analog

IT Anti-inflammatory agents
 Blood pressure
 Edema
 (**dynorphin** A(6-12) analogs suppress thermal edema)

IT Temperature effects, biological
 (heat; **dynorphin** A(6-12) analogs suppress thermal edema)

IT Structure-activity relationship
 (inflammation-inhibiting; **dynorphin** A(6-12) analogs suppress thermal edema)

IT 83608-80-4, **Dynorphin** A(2-17) 88161-22-2D, **Dynorphin** A, analogs 161875-00-9, N-Acetyl-[D-Leu12]-**dynorphin** A(6-12)-NH2 163132-93-2, N-Acetyl-**dynorphin** A(6-12)-NH2
209521-51-7 209521-52-8 209521-53-9 209521-54-0 209521-55-1
209521-56-2 209521-57-3 209521-58-4 209521-59-5 209521-60-8
209521-61-9 209521-62-0 209521-63-1 209521-64-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
 (**dynorphin** A(6-12) analogs suppress thermal edema)